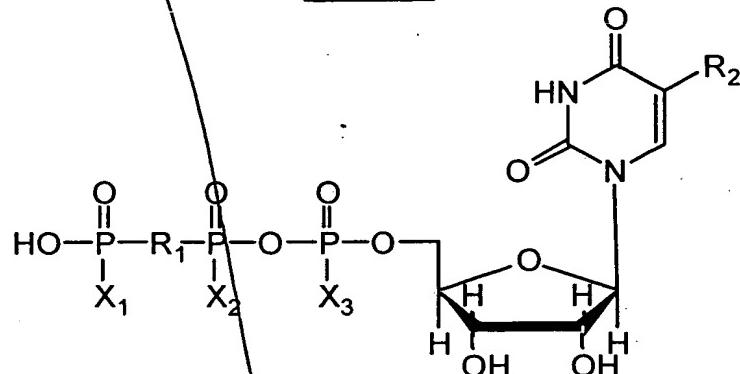


WHAT IS CLAIMED IS:

Sub A1

1. A method of stimulating cervical and vaginal secretions in a mammal
in need thereof by administering an effective secretion stimulating amount of a
5 compound of Formulas I, II, III, or IV:

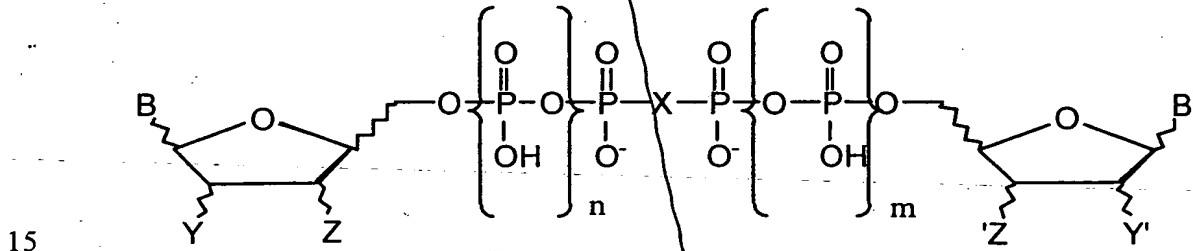
Formula I



wherein:

- X₁, X₂ and X₃ are each independently either O or S;
10 R₁ is O, imido, methylene or dihalomethylene;
R₂ is H or Br; preferably, R₂ is H; or

Formula II



wherein:

- X is oxygen, methylene, difluoromethylene, imido;
n = 0, 1, or 2;
20 m = 0, 1, or 2;

Sub A

$n + m = 0, 1, 2, 3, \text{ or } 4$; and

B and B' are each independently a purine residue or a pyrimidine residue linked through the 9- or 1-position, respectively;

5 $Z = \text{OH or } N_3$;

$Z' = \text{OH or } N_3$;

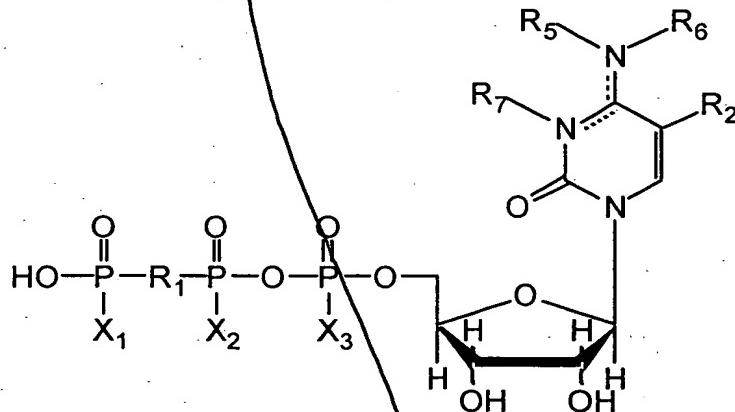
$Y = \text{H or OH}$;

$Y' = \text{H or OH}$;

provided that when Z is N_3 , Y is H or when Z' is N_3 , Y' is H ; or

Formula III

10



wherein:

R_1, X_1, X_2 and X_3 are defined as in Formula I;

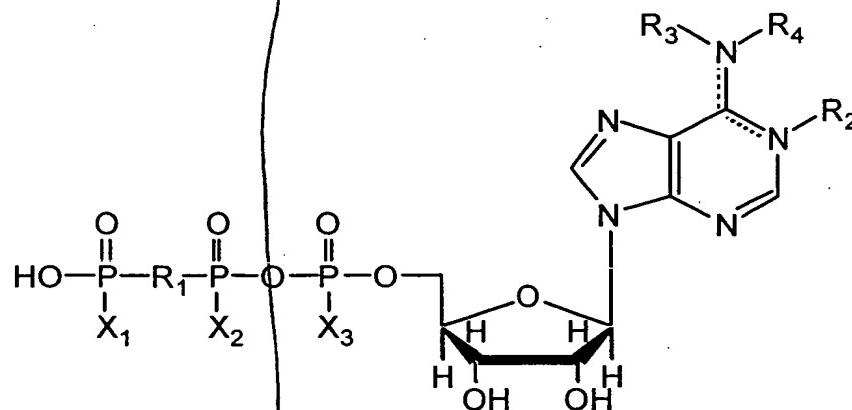
15

R_5 and R_6 are H while R_7 is nothing and there is a double bond between N-3 and C-4 (cytosine), or

R_5, R_6 and R_7 taken together are $-\text{CH}=\text{CH}-$, forming a ring from N-3 to N-4 with a double bond between N-4 and C-4 ($3, N^4$ -ethenocytosine) optionally substituted at the 4- or 5-position of the etheno ring; or

Formula IV

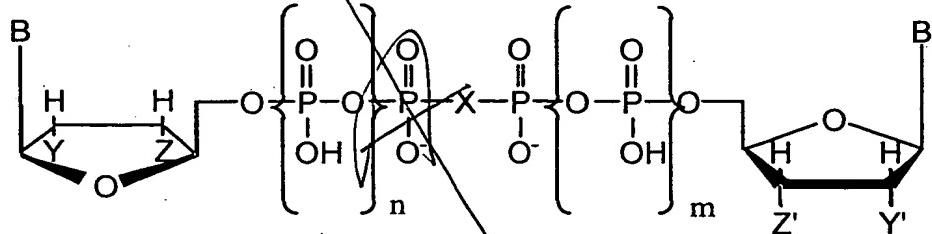
Sub A)



wherein:

- 5 R₁, X₁, X₂, and X₃ are defined as in Formula I;
- R₃ and R₄ are H while R₂ is nothing and there is a double bond between
N-1 and C-6 (adenine), or
- R₃ and R₄ are H while R₂ is O and there is a double bond between N-1 and
C-6 (adenine 1-oxide), or
- 10 R₃, R₄, and R₂ taken together are -CH=CH-, forming a ring from N-6 to
N-1 with a double bond between N-6 and C-6 (1,N6-ethenoadenine);
or pharmaceutically acceptable esters or salts thereof.

2. The method of claim 1 wherein the compounds of Formula II are those
15 of Formula IIa:

Formula IIa

wherein:

- 20 X=O;

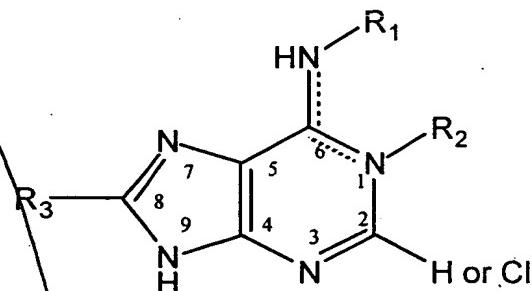
$n+m=1$ or 2;

Z, Z', Y, and Y'=OH;

B and B' are defined in Formulas IIc and IId:

5

Formula IIc



R₂ is O or is absent; or

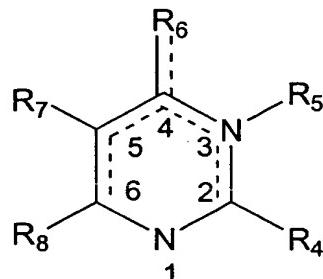
10 R₁ and R₂ taken together may form optionally substituted 5-membered fused imidazole ring; or

R₁ of the 6-HNR₁ group or R₃ of the 8-HNR₃ group is chosen from the group consisting of:

- (a) arylalkyl (C₁₋₆) groups with the aryl moiety optionally substituted,
- (b) alkyl,
- (c) ([6-aminohexyl]carbamoylmethyl),
- (d) ω -amino alkyl (C₂₋₁₀),
- (e) ω -hydroxy alkyl (C₂₋₁₀),
- (f) ω -thiol alkyl (C₂₋₁₀),
- (g) ω -carboxy alkyl (C₂₋₁₀),
- (h) the ω -acylated derivatives of (b), (c) or (d) wherein the acyl group is either acetyl, trifluoroacetyl, benzoyl, or substituted-benzoyl alkyl (C₂₋₁₀), and
- (i) ω -carboxy alkyl (C₂₋₁₀) as in (e) above wherein the

carboxylic moiety is an ester or an amide;

Formula IIId



5

wherein:

R₄ is hydroxy, mercapto, amino, cyano, aralkoxy, C₁₋₆ alkylthio, C₁₋₆ alkoxy, C₁₋₆ alkylamino or dialkylamino, wherein the alkyl groups of said dialkylamino are optionally linked to form a heterocycle;

10 R₅ is hydrogen, acyl, C₁₋₆ alkyl, aroyl, C₁₋₅ alkanoyl, benzoyl, or sulphonate;

R₆ is hydroxy, mercapto, alkoxy, aralkoxy, C₁₋₆-alkylthio, C₁₋₅ disubstituted amino, triazolyl, alkylamino or dialkylamino, wherein the alkyl groups of said dialkylamino are optionally linked to form a heterocycle or linked to N³ to form an optionally substituted ring;

15 R₅ - R₆ together forms a 5 or 6-membered saturated or unsaturated ring bonded through N or O at R₆, wherein said ring is optionally substituted;

R₇ is selected from the group consisting of:

(a) hydrogen,

(b) hydroxy,

20 (c) cyano,

(d) nitro,

(e) alkenyl, wherein the alkenyl moiety is optionally linked through oxygen to form a ring optionally substituted with alkyl or aryl groups on the carbon adjacent to the oxygen,

- RECEIVED
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- (f) substituted alkynyl
(g) halogen,
(h) alkyl,
(i) substituted alkyl,
5 (j) perhalomethyl,
(k) C₂₋₆ alkyl,
(l) C₂₋₃ alkenyl,
(m) substituted ethenyl,
(n) C₂₋₃ alkynyl and
10 (o) substituted alkynyl when R₆ is other than amino or
substituted amino;

R₈ is selected from the group consisting of:

- (a) hydrogen,
(b) alkoxy,
15 (c) arylalkoxy,
(d) alkylthio,
(e) arylalkylthio,
(f) carboxamidomethyl,
(g) carboxymethyl,
20 (h) methoxy,
(i) methylthio,
(j) phenoxy and
(k) phenylthio.

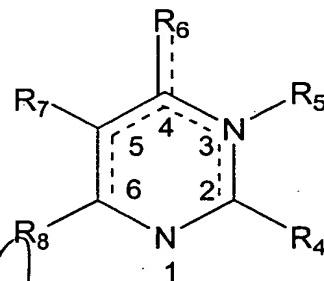
25

wherein the substituted derivatives of adenine are adenine 1-oxide; 1,N6-(4- or 5-substituted etheno) adenine; 6-substituted adenine; or 8-substituted aminoadenine, where R' of the 6- or 8-HNR' groups are chosen from among: arylalkyl (C₁₋₆) groups with the aryl moiety optionally functionalized; alkyl; and alkyl

groups with functional groups therein, selected from the group consisting of ([6-aminohexyl]carbamoylmethyl)-, and ω -acylated-amino(hydroxy, thiol and carboxy) derivatives where the acyl group is acetyl, trifluororoacetyl, benzoyl or substituted-benzoyl and the carboxylic moiety is present as the ethyl or methyl ester derivative or the methyl, ethyl or benzamido derivative;

5 B or B' or both in Formula IIb may be a pyrimidine with the general formula of Formula IIc, linked through the 1-position:

Formula IIc



wherein:

10 R₄ is hydroxy, mercapto, amino, cyano, aralkoxy, C₁₋₆ alkoxy, C₁₋₆ alkylamino, and dialkylamino, the alkyl groups optionally linked to form a heterocycle;

R₅ is hydrogen, acyl, C₁₋₆ alkyl, aroyl, C₁₋₅ alkanoyl, benzoyl, or sulphonate;

15 R₆ is hydroxy, mercapto, alkoxy, aralkoxy, C₁₋₆-alkylthio, C₁₋₅ disubstituted amino, triazolyl, alkylamino, or dialkylamino, where the alkyl groups are optionally linked to form a heterocycle or linked to N-3 to form an optionally substituted ring;

R₇ is hydrogen, hydroxy, cyano, nitro, alkenyl, with the alkenyl moiety 20 optionally linked through oxygen to form a ring optionally substituted on the carbon adjacent to the oxygen with alkyl or aryl groups, substituted alkynyl or hydrogen where R₈ is amino or substituted amino and halogen, alkyl, substituted alkyl, perhalomethyl, C₂₋₆ alkyl, C₂₋₃ alkenyl, or ethenyl (optionally substituted by

allylamino, bromvinyl and ethyl propenoate, or propenoic acid), C₂₋₃ alkynyl or substituted alkynyl when R₆ is other than amino or substituted amino and together R₅ - R₆ may form a 5- or 6-membered saturated or unsaturated ring bonded through N or O at R₆, such a ring may contain substituents that themselves contain functionalities;

5 R₈ is hydrogen, alkoxy, arylalkoxy, alkylthio, arylalkylthio, carboxamidomethyl, carboxymethyl, methoxy, methylthio, phenoxy, or phenylthio; or

X=O;

n+m=3 or 4;

10 Z, Z', Y, and Y'=OH;

B=uracil;

B' is defined in Formulas IIc and IIId; or

X=O;

15 n+m=1 or 2;

Z, Y, and Y'=OH;

Z'=H;

B=uracil;

B' is defined in Formulas IIc and IIId; or

20

X=O;

n+m=0, 1, or 2;

Z and Y=OH;

Z'=N₃;

25 Y'=H;

B=uracil;

B'=thymine; or

X=O;

$n+m=0, 1, \text{ or } 2;$

$Z \text{ and } Z'=\text{N}_3;$

$Y \text{ and } Y'=\text{H};$

$B \text{ and } B'=\text{thymine; or}$

5

$X=\text{CH}_2, \text{CF}_2, \text{ or NH;}$

$n \text{ and } m=1;$

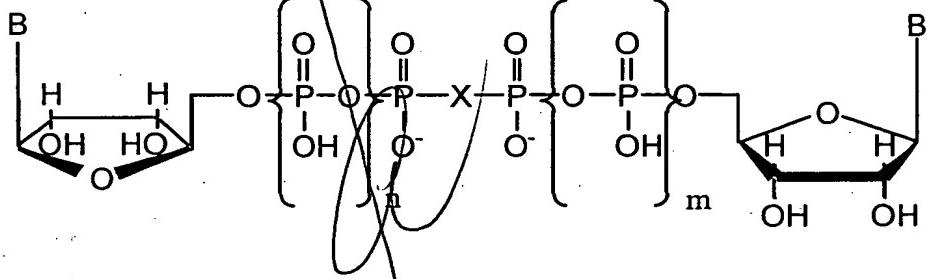
$Z, Z', Y, \text{ and } Y'=\text{OH};$

$B \text{ and } B' \text{ are defined in Formulas IIc and IId.}$

10

3. The method of claim 1 wherein the compounds of Formula II are those of
Formula IIb:

Formula IIb



15

wherein:

X is oxygen, methylene, difluoromethylene, or imido;

$n = 0 \text{ or } 1;$

20

$m = 0 \text{ or } 1;$

$n + m = 0, 1, \text{ or } 2; \text{ and}$

25
B and B' are each independently a purine residue, as in Formula IIc as described in claim 2, or a pyrimidine residue, as in Formula IId as described in claim 2, linked through the 9- or 1- position, respectively; provided that when B and B' are uracil, attached at N-1 position to the ribosyl moiety, then the total of $m + n$ equals 3 or 4 when X is oxygen.

4. The method of claim 1 wherein R₂ of Formula I is H.

5. The method of claim 1 wherein the furanose sugar of Formula II is in
5 the β-D-configuration.

Sub A2
6. A method of treating a mammal with vaginal dryness by administering
an effective vaginal dryness treatment amount of a compound of Formulas I, II, III, or
IV as described in claims 1-5.

10

7. A pharmaceutical composition comprising a compound of Formulas I,
II, III, or IV as described in claims 1-5 together with a pharmaceutically acceptable
carrier therefor in the form of a liquid or gel suspension.

15

8. The method of claim 6 wherein the amount of compound of Formulas
I, II, III or IV administered to the mammal is sufficient to achieve a concentration on
the cervical and/or vaginal mucosa of from about 10⁻⁷ moles/liter to about 10⁻¹
moles/liter.

20

9. The method of claim 6 wherein the amount of compound of Formulas
I, II, III, or IV administered to the mammal is sufficient to achieve a daily dose of
between 1 to 1000 milligrams.

25

10. A method of treating a mammal with vulvar pain by administrating an
effective vulvar pain treatment amount of a compound of Formulas I, II, III, or IV as
described in claims 1-5.